CLAIMS:

1. A compound comprising a benzothiepene of Formula I-1 or I-2:

or

or a pharmaceutically acceptable salt, solvate, or prodrug thereof

wherein j is 0, 1 or 2;

wherein m is 0, 1, 2, 3 or 4;

wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and hydrocarbyl;

wherein R^{3A}, R^{3B}, R^{5A}, and R^{5B} are independently selected from the group consisting of hydrogen, alkyl; cycloalkyl; alkenyl; alkynyl; heterocyclyl; quaternary heterocyclyl, oxo; aryl-R⁵; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; and -SO₃R⁹;

wherein R⁹ and R¹⁰ are independently selected from the group consisting of hydrogen; hydrocarbyl; amino; and hydrocarbylamino;

wherein R^5 is selected from the group consisting of hydrogen; hydrocarbyl, heterocyclyl; quaternary heterocyclyl; $-OR^9$; $-SR^9$; $-SO_2R^9$; and $-SO_3R^9$;

wherein when R⁵ is said cycloalkyl, aryl or heterocyclyl, said cycloalkyl, aryl or heterocyclyl are optionally substituted with -NH-X-R or -O-X-R;

wherein X is selected from the group consisting of $-(C=O)_s$ -alkyl-; $-(C=O)_s$ -alkyl-O-; $-(C=O)_s$ -alkyl- $(C=O)_t$; and a covalent bond, wherein s and t are independently 0 or 1;

wherein R is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides are optionally protected with one or more sugar protecting groups;

wherein R⁹ and R¹⁰ are as previously defined;

wherein, when $R^5 \neq H$, R^5 is optionally substituted with one or more radicals independently selected from the group consisting of halogen; -NO₂; -CN; oxo; hydrocarbyl; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻;

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen and hydrocarbyl;

wherein A is a pharmaceutically acceptable anion;

wherein M is a pharmaceutically acceptable cation;

wherein one or more R^6 radicals are independently selected from the group consisting of hydrogen; halogen; -CN; -NO₂; hydrocarbyl; - R^5 ; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; - OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)OM; -S(O)NR¹³R¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein R¹³, R¹⁴, R¹⁵, A, and M are as defined above; and

wherein, in each instance, said hydrocarbyl may be optionally substituted with one or more groups comprising one or more heteroatoms, and wherein, in each instance, said hydrocarbyl optionally may have one or more carbon atoms replaced by one or more heteroatoms independently selected from the group consisting of oxygen, nitrogen, sulfur, phosphorus and combinations thereof.

- 2. The compound of claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and alkyl, R^{3A} and R^{3B} are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl, aryl and arakyl and R⁵ is selected from the group consisting of alkyl, cycloalkyl, alkenyl, alkynyl and aryl.
- 3. The compound of claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{5A} is aryl optionally substituted with said radical R^{5} selected from the group consisting of (1) (69) and (70):

(1)
$$CI_{N+}$$
 CO_2H CO_2H

(14)

CO₂H

(17)
$$R = 1000 \text{ MW PEG}$$

$$\begin{array}{c|c}
O \\
\parallel \\
S \\
O \\
CO_2H
\end{array}$$
(19)

M = Co^{II, III}, Mn^{II, III}, Fe^{II, III}, Ni^{II, III}, Cr^{III}, Cu^{II}, Zn^{II}, Cd^{II}, Ga^{III}, In^{III}, V^{IV}, Ru^{II}, Pr^{IV}, Rh^{III} or Ir^{III}

(29)

(44)

(49)

(50)

$$N$$
 N
 N
 CI

$$N$$
 N R O

744

.

(58)

(59)

(60)

(61)

(62)

(63)

(64)

(65)

(66)

(67)

provided that when said R⁵ is (7), (17) or (24), then said R^{5A} is a left end of said R⁵ and R^{5B} is a right end of said R⁵ or vice versa.

- 4. The compound of claim 3 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{5A} is phenyl optionally substituted at least at either a para position or a meta position of said phenyl with said radical R⁵.
- 5. The compound of claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein j = 2, R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and alkyl, and R^{3A} and R^{3B} are independently selected from the group consisting of hydrogen and alkyl.

- 6. The compound of claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein j = 2, at least one of R^{2A} and R^{2B} is hydrogen, and R^{3A} and R^{3B} each are alkyl.
- 7. The compound of claim 6 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein $R^{2A} = R^{2B} = H$ and R^{3A} and R^{3B} are independently selected from the group consisting of ethyl, propyl and butyl.
- 8. The compound of claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and C_{1-10} alkyl, R^{3A} and R^{3B} are independently selected from the group consisting of hydrogen and C_{1-10} alkyl.
- 9. The compound of claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and C_{1-6} alkyl, and R^{3A} and R^{3B} are independently selected from the group consisting of hydrogen and C_{1-6} alkyl.
- 10. The compound of claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{2A} and R^{2B} are the same radical.
- 11. The compound of claim 10 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{2A} and R^{2B} are the same alkyl radical.
- 12. The compound of claim 10 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{2A} and R^{2B} are the same radical selected from the group consisting of hydrogen, C_{1-10} alkyl, C_{1-10} alkenyl and C_{1-10} alkynyl.

- 13. The compound of claim 10 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{3A} and R^{3B} are the same radical.
- 14. The compound of claim 11 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{3A} and R^{3B} are the same alkyl radical.
- 15. The compound of claim 12 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{3A} and R^{3B} are the same radical selected from the group consisting of hydrogen, C_{1-10} alkyl, C_{1-10} alkenyl and C_{1-10} alkynyl.
- 16. The compound of claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{3A} and R^{3B} are the same radical.
- 17. The compound of claim 16 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{3A} and R^{3B} are the same alkyl radical.

- 18. The compound of claim 16 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{3A} and R^{3B} are the same radical selected from the group consisting of hydrogen, C_{1-10} alkyl, C_{1-10} alkenyl and C_{1-10} alkynyl.
- 19. The compound of claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{2A} and R^{2B} are the same C_{1-20} hydrocarbyl radical.

- 20. The compound of claim 19 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{2A} and R^{2B} are the same C_{1-10} hydrocarbyl radical.
- 21. The compound of claim 20 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{2A} and R^{2B} are the same C_{1-6} hydrocarbyl radical.
- 22. The compound of claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{3A} and R^{3B} are the same C_{1-20} hydrocarbyl radical.
- 23. The compound of claim 22 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{3A} and R^{3B} are the same C_{1-10} hydrocarbyl radical.

- 24. The compound of claim 23 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{3A} and R^{3B} are the same C_{1-6} hydrocarbyl radical.
- 25. The compound of claim 11 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{2A} and R^{2B} are each n-butyl.
- 26. The compound of claim 10 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{2A} and R^{2B} are each H.
- 27. The compound of claim 13 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein R^{3A} and R^{3B} are each H or n-butyl.

- 28. The compound of claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein one or more radicals R⁶ are selected from the group consisting of hydrogen, halogen, hydroxy, alkoxy, amino, alkylamino and dialkylamino.
- 29. The compound of claim 28 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein one or more radicals R⁶ are selected from the group consisting of methoxy, ethoxy and dimethylamino.
- 30. The compound of claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof, wherein j = 2, m = 1, one of R^{5A} and R^{5B} is hydrogen and the other of R^{5A} and R^{5B} is a phenyl radical optionally substituted at a para position of said phenyl radical with said radical R^{5} selected from the group consisting of (1) (69) and (70):

(1)
$$CI-N+$$
(2) CO_2H
(3) CO_2H
(4) CO_2H
(4) CO_2H

(7)

$$(17) O R = 1000 MW PEG$$

(20)

$$(22) \qquad \qquad \begin{matrix} H \\ N \end{matrix} \qquad \qquad CO_2H$$

M = Co^{II, III}, Mn^{II, III}, Fe^{II, III}, Ni^{II, III}, Cr^{III}, Cu^{II}, Zn^{II}, Cd^{II}, Ga^{III}, In^{III}, V^{IV}, Ru^{II}, Pr^{IV}, Rh^{III} or Ir^{III}

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(63)

(64)

(65)

(66)

(67)

provided that when said R^5 is (7), (17) or (24), then said R^{5A} is a left end of said R^5 and R^{5B} is a right end of said R^5 or vice versa.

31. The compound of claim 1 wherein said benzothiepene comprises the compound of Formula I-17 or I-18:

$$(R^{6})_{m} = \begin{pmatrix} Q \\ S \\ 1 \\ 2 \\ 3 \\ R^{3A} \\ R^{3B} \\ F \end{pmatrix} = \begin{pmatrix} Q \\ 1 \\ 2 \\ 3 \\ R^{3A} \\ R^{3B} \\ R^{3B} \\ R^{5} \end{pmatrix} = \begin{pmatrix} Q \\ 1 \\ 2 \\ 3 \\ R^{3A} \\ R^{3B} \\ R^{3B} \\ R^{5} \end{pmatrix} = \begin{pmatrix} Q \\ 1 \\ 2 \\ 3 \\ R^{3A} \\ R^{3B} \\ R^{3B} \\ R^{5} \end{pmatrix} = \begin{pmatrix} Q \\ 1 \\ 2 \\ 3 \\ R^{3B} \\ R^{3B} \\ R^{5} \end{pmatrix} = \begin{pmatrix} Q \\ 1 \\ 2 \\ 3 \\ R^{3B} \\ R^{3B} \\ R^{5} \end{pmatrix} = \begin{pmatrix} Q \\ 1 \\ 2 \\ 3 \\ R^{3B} \\ R^{3B} \\ R^{5} \end{pmatrix} = \begin{pmatrix} Q \\ 1 \\ 2 \\ 3 \\ R^{3B} \\ R^{3B} \\ R^{5} \end{pmatrix} = \begin{pmatrix} Q \\ 1 \\ 2 \\ 3 \\ R^{3B} \\ R^{3B} \\ R^{5} \end{pmatrix} = \begin{pmatrix} Q \\ 1 \\ 2 \\ 3 \\ R^{3B} \\ R^{3B$$

32. The compound of claim 31 wherein said R⁵ is attached to either a para-position or a meta-position on said phenyl ring attached to the 5-position ring carbon of said benzothiepene compound of said Formulas I-17 or I-18.

33. The compound of claim 31 wherein said benzothiepene of said Formula I-17 comprises a member selected from the group consisting of Formulas I-21

$$(R^{6})_{m} = R^{2A} R^{2B}$$

$$(R^{6})_{m} = R^{3A} R^{3B}$$

and I-22:

34. The compound of claim 33 wherein said benzothiepene of said Formulas I-21 and I-22 comprise Formulas I-9 and I-10, respectively, represented by:

$$(R^6)_{\rm m}$$
 R^{3A} R^{3B} R^{3B

35. The compound of claim 31 wherein said benzothiepene of said Formula I-18 comprises a member selected from the group consisting of Formulas I-23, and I-24:

36. The compound of claim 35 wherein said benzothiepene of said Formulas I-23 and I-24 comprise Formulas I-19 and I-20, respectively, represented by:

$$(R^{6})_{m} = \begin{pmatrix} 0 & R^{2A} & R^{2B} & R^{2B} & R^{2B} & R^{3A} & R^{3A} & R^{3B} & R^{3B} & R^{3B} & R^{5} &$$

- 37. The compound of claim 35 wherein said R⁵ is attached to either a meta-position or a para-position on said phenyl ring attached to said 5-position carbon ring of said benzothiepenes of said Formulas I-23 and I-24.
- 38. The compound of claim 31 wherein said R^5 is selected from the group consisting of (1) (69) and (70):

(1)
$$CI-N+$$
(2) CO_2H
 CO_2H
 CO_2H
 CO_2H
 CO_2H

(7)

$$(17) \qquad \qquad R = 1000 \text{ MW PEG}$$

$$\begin{array}{c|c}
O \\
\parallel \\
S \\
O \\
CO_2H
\end{array}$$
(19)

(20)

.CO₂H

`CO₂H (23)

$$\begin{split} & M = Co^{II, \ III}, \ Mn^{II, \ III}, \ Fe^{II, \ III}, \ Ni^{II, \ III}, \\ & Cr^{III}, \ Cu^{II}, \ Zn^{II}, \ Cd^{II}, \ Ga^{III}, \ In^{III}, \ V^{IV}, \\ & Ru^{II}, \ Pr^{IV}, \ Rh^{III} \ or \ Ir^{III} \end{split}$$

(25)

(26)

(27)

(28)

(29)

(30)

-

(38)

(42)

(44)

(49)

(50)

(51)

(52)

(53)

$$N$$
 N R O

(54)

(55)

(56)

(57)

(58)

(59) ·

(60)

(61)

(62)

(63)

(64)

(65)

(66)

(67)

(68)

wherein when said R⁵ is said (7), said (17) or said (24), then said R^{5A} represents a left-end of said R⁵ and said R^{5B} represents a right end of said R⁵ or vice versa.

39. A method for treating a hyprelipidemic condition in a subject comprising administering to said subject in need thereof a therapeutically effective amount of a compound of Formulas I-1 or I-2, wherein said Formulas I-1 and I-2 are represented by:

$$(R^{6})_{m}$$
 R^{5B}
 R^{5A}
 R^{2A}
 R^{2B}
 R^{3A}
 R^{3B}
 R^{3B}
 R^{5A}
 R^{5A}
 R^{5A}
 R^{5A}

$$(R^{6})_{m}$$
 R^{5B}
 R^{5A}
 R^{2A}
 R^{2B}
 R^{3A}
 R^{3B}
 R^{3B}
 R^{5A}

or a pharmaceutically acceptable salt, solvate, or prodrug thereof

wherein j is 0, 1 or 2;

wherein m is 0, 1, 2, 3 or 4;

wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and hydrocarbyl;

wherein R^{3A}, R^{3B}, R^{5A}, and R^{5B} are independently selected from the group consisting of hydrogen, alkyl; cycloalkyl; alkenyl; alkynyl; heterocyclyl; quaternary heterocyclyl, oxo; aryl-R⁵; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; and -SO₃R⁹;

wherein R⁹ and R¹⁰ are independently selected from the group consisting of hydrogen; hydrocarbyl; amino; and hydrocarbylamino;

wherein R^5 is selected from the group consisting of hydrogen; hydrocarbyl, heterocyclyl; quaternary heterocyclyl; $-OR^9$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; and $-SO_3R^9$;

wherein when R⁵ is said cycloalkyl, aryl or heterocyclyl, said cycloalkyl, aryl or heterocyclyl are optionally substituted with -NH-X-R or -O-X-R;

wherein X is selected from the group consisting of $-(C=O)_s$ -alkyl-; $-(C=O)_s$ -alkyl-NH-; $-(C=O)_s$ -alkyl-O-; $-(C=O)_s$ -alkyl-(C=O)_t; and a covalent bond, wherein s and t are independently 0 or 1;

wherein R is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides are optionally protected with one or more sugar protecting groups;

wherein R⁹ and R¹⁰ are as previously defined;

wherein, when $R^5 \neq H$, R^5 is optionally substituted with one or more radicals independently selected from the group consisting of halogen; -NO₂; -CN; oxo; hydrocarbyl; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻;

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen and hydrocarbyl;

wherein A is a pharmaceutically acceptable anion;

wherein M is a pharmaceutically acceptable cation;

wherein one or more R^6 radicals are independently selected from the group consisting of hydrogen; halogen; -CN; -NO₂; hydrocarbyl; - R^5 ; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; - OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)OM; -S(O)NR¹³R¹⁴; -N⁺R¹³R¹⁴R¹⁵A-; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein R¹³, R¹⁴, R¹⁵, A⁻, and M are as defined above; and

wherein, in each instance, said hydrocarbyl may be optionally substituted with one or more groups comprising one or more heteroatoms, and wherein, in each instance, said hydrocarbyl optionally may have one or more carbon atoms replaced by one or more heteroatoms independently selected from the group consisting of oxygen, nitrogen, sulfur, phosphorus and combinations thereof.

40. A method of treating gallstones or a condition associated therewith in a subject comprising administering to said subject in need thereof a therapeutically effective amount of a compound of Formulas I-1 or I-2 represented by:

$$(R^{6})_{m}$$
 R^{5B}
 R^{5A}
 R^{2A}
 R^{2B}
 R^{3A}
 R^{3A}
 R^{3B}
 R^{5B}
 R^{5A}
 R^{5A}
 R^{5B}
 R^{5A}
 R^{5B}
 R^{5A}
 R^{5B}
 R^{5A}

$$(R^{6})_{m}$$
 R^{5B}
 R^{5A}
 R^{2A}
 R^{2B}
 R^{3A}
 R^{3B}
 R^{3B}
 R^{5A}

or a pharmaceutically acceptable salt, solvate, or prodrug thereof

wherein j is 0, 1 or 2;

wherein m is 0, 1, 2, 3 or 4;

wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and hydrocarbyl;

wherein R^{3A}, R^{3B}, R^{5A}, and R^{5B} are independently selected from the group consisting of hydrogen, alkyl; cycloalkyl; alkenyl; alkynyl; heterocyclyl; quaternary heterocyclyl, oxo; aryl-R⁵; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; and -SO₃R⁹;

wherein R⁹ and R¹⁰ are independently selected from the group consisting of hydrogen; hydrocarbyl; amino; and hydrocarbylamino;

wherein R^5 is selected from the group consisting of hydrogen; hydrocarbyl, heterocyclyl; quaternary heterocyclyl; $-OR^9$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; and $-SO_3R^9$;

wherein when R⁵ is said cycloalkyl, aryl or heterocyclyl, said cycloalkyl, aryl or heterocyclyl are optionally substituted with -NH-X-R or -O-X-R;

wherein X is selected from the group consisting of $-(C=O)_s$ -alkyl-; $-(C=O)_s$ -alkyl-NH-; $-(C=O)_s$ -alkyl-O-; $-(C=O)_s$ -alkyl-(C=O)_t; and a covalent bond, wherein s and t are independently 0 or 1;

wherein R is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides are optionally protected with one or more sugar protecting groups;

wherein R⁹ and R¹⁰ are as previously defined;

wherein, when $R^5 \neq H$, R^5 is optionally substituted with one or more radicals independently selected from the group consisting of halogen; -NO₂; -CN; oxo; hydrocarbyl; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻;

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen and hydrocarbyl;

wherein A is a pharmaceutically acceptable anion;

wherein M is a pharmaceutically acceptable cation;

wherein one or more R^6 radicals are independently selected from the group consisting of hydrogen; halogen; -CN; -NO₂; hydrocarbyl; - R^5 ; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; - OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)OM; -S(O)NR¹³R¹⁴; -N⁺R¹³R¹⁴R¹⁵A-; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein R¹³, R¹⁴, R¹⁵, A, and M are as defined above; and

wherein, in each instance, said hydrocarbyl may be optionally substituted with one or more groups comprising one or more heteroatoms, and wherein, in each instance, said hydrocarbyl optionally may have one or more carbon atoms replaced by one or more heteroatoms independently selected from the group consisting of oxygen, nitrogen, sulfur, phosphorus and combinations thereof.

- 41. The method of claim 39, wherein said subject is a mammal.
- 42. The method of claim 41, wherein said subject is a human.
- 43. The method of claim 40 wherein said subject is a mammal.

- 44. The method of claim 43, wherein said mammal is a human.
- 45. The method of claim 39, wherein said therapeutically effective amount is administered in a single dose or in multiple divided doses.
- 46. The method of claim 40, wherein said therapeutically effective amount is administered in a single dose or in multiple divided doses.
- 47. A method for treating a hyperlipidemic condition in a subject comprising administering to said subject in need thereof a therapeutically effective amount of a compound of Formulas I-17 or I-18 represented by:

$$(R^{6})_{m} = \begin{pmatrix} Q \\ S \\ 1 \\ 2 \\ 3 \\ R^{3A} \\ R^{3B} \\ F \end{pmatrix} = \begin{pmatrix} Q \\ 5 \\ 1 \\ 2 \\ 3 \\ R^{3A} \\ R^{3B} \\ R^{3B} \\ R^{5} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} Q \\ 5 \\ 1 \\ 2 \\ 3 \\ R^{3B} \\ R^{3B} \\ R^{3B} \\ R^{5} \end{pmatrix}$$

$$I-18$$

or a pharmaceutically acceptable salt, solvate, or prodrug thereof

wherein j is 0, 1 or 2;

wherein m is 0, 1, 2, 3 or 4;

wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and hydrocarbyl;

wherein R^{3A}, R^{3B}, R^{5A}, and R^{5B} are independently selected from the group consisting of hydrogen, alkyl; cycloalkyl; alkenyl; alkynyl; heterocyclyl; quaternary heterocyclyl, oxo; aryl-R⁵; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; and -SO₃R⁹;

wherein R⁹ and R¹⁰ are independently selected from the group consisting of hydrogen; hydrocarbyl; amino; and hydrocarbylamino;

wherein R⁵ is selected from the group consisting of hydrogen; hydrocarbyl, heterocyclyl; quaternary heterocyclyl; -OR⁹; -SR⁹; -S(O)R⁹; -SO₂R⁹; and -SO₃R⁹;

wherein when R⁵ is said cycloalkyl, aryl or heterocyclyl, said cycloalkyl, aryl or heterocyclyl are optionally substituted with -NH-X-R or -O-X-R;

wherein X is selected from the group consisting of –(C=O)_s-alkyl-; – (C=O)_s-alkyl-NH-;–(C=O)_s-alkyl-O-; –(C=O)_s-alkyl-(C=O)_t; and a covalent bond, wherein s and t are independently 0 or 1;

wherein R is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides are optionally protected with one or more sugar protecting groups;

wherein R⁹ and R¹⁰ are as previously defined;

wherein, when $R^5 \neq H$, R^5 is optionally substituted with one or more radicals independently selected from the group consisting of halogen; -NO₂; -CN; oxo; hydrocarbyl; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(O)R¹³OR¹⁴; -S⁺R¹³R¹⁴R¹⁵A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻;

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen and hydrocarbyl;

wherein A is a pharmaceutically acceptable anion; wherein M is a pharmaceutically acceptable cation;

wherein one or more R^6 radicals are independently selected from the group consisting of hydrogen; halogen; -CN; -NO₂; hydrocarbyl; - R^5 ; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; - OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)OM; -S(O)NR¹³R¹⁴; -N⁺R¹³R¹⁴R¹⁵A-; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein R¹³, R¹⁴, R¹⁵, A⁻, and M are as defined above; and

wherein, in each instance, said hydrocarbyl may be optionally substituted with one or more groups comprising one or more heteroatoms, and wherein, in each instance, said hydrocarbyl optionally may have one or more carbon atoms replaced by one or more heteroatoms independently selected from the group consisting of oxygen, nitrogen, sulfur, phosphorus and combinations thereof.

48. The method of claim 47 wherein said Formula I-17 comprises a member selected from the group consisting of I-21 and I-22 represented by:

$$(R^{6})_{m} = R^{2A}$$

49. The method of claim 48 wherein said Formulas I-21 and I-22 comprise Formulas I-9 and I-10, respectively, represented by:

$$(R^{6})_{m} = R^{3A}$$

$$R^{3B}$$

$$R^{3B}$$

$$R^{3B}$$

$$R^{3B}$$

$$R^{3B}$$

$$R^{5}$$

$$R^{5}$$

$$R^{5}$$

$$R^{5}$$

$$R^{5}$$

$$R^{5}$$

$$R^{5}$$

$$R^{5}$$

$$R^{5}$$

50. The method of claim 47 wherein said Formula I-18 comprises a member selected from the group consisting of I-19 and I-20 represented by:

$$(R^{6})_{m} = \frac{1}{12} = \frac{1}{2} =$$

51. The method of claim 50 wherein said Formulas I-19 and I-20 comprise Formulas I-11 and I-12, respectively, represented by:

$$(R^6)_m$$
 R^{5}
 R^{3A}
 R^{3B}
 R

52. The method of claim 51 where said Formula I-11 comprises a member selected from the group consisting of Formulas I-13 and I-16 represented by:

$$(R^6)_m$$
 R^{3A}
 R^{3A}
 R^{3B}
 R^{3B}

53. The method of claim 51 wherein said Formula I-12 comprises a member selected from the group consisting of Formulas I-14 and I-15 represented by:

54. The method of claim 47 wherein said R^5 is a member selected from the group consisting of (1) - (69) and (70):

(1)
$$CI-N+$$
(2) CO_2H
(3) CO_2H
(4) CO_2H
(5) CO_2H
(1) CO_2H
(1) CO_2H
(2) CO_2H
(3) CO_2H
(4) CO_2H
(5) CO_2H
(6) CO_2H
(7) CO_2H
(8) CO_2H
(9) CO_2H
(9) CO_2H
(10) CO_2H
(11) CO_2H
(12) CO_2H
(13) CO_2H
(14) CO_2H
(15) CO_2H
(16) CO_2H
(17) CO_2H
(18) CO_2H
(19) C

(15a)

(17)

R = 1000 MW PEG

$$\begin{array}{c|c}
O \\
\parallel \\
S \\
O \\
O \\
CO_2H
\end{array}$$

(19)

(20)

M = Co^{II, III}, Mn^{II, III}, Fe^{II, III}, Ni^{II, III}, Cr^{III}, Cu^{II}, Zn^{II}, Cd^{II}, Ga^{III}, In^{III}, V^{IV}, Ru^{II}, Pr^{IV}, Rh^{III} or Ir^{III}

(25)

(26)

(27)

(29)

(30)

(40)

(41)

$$(50)$$

$$0$$

$$N^{+}$$

(51)

(52)

(53)

$$\nearrow^N$$
 \nearrow^N \nearrow^R \nearrow^N

(54)

(55)

(56)

(57)

(58)

(59)

(60)

(61)

(62)

(63)

(64)

(65)

(66)

(67)

(68)

(69) and

(70)

provided that when said R⁵ is (7), (17) or (24), then said R^{5A} is a left end of said R⁵ and said R^{5B} is a right end of said R⁵ or vice versa.

55. A method for treating gallstones or a condition associated therewith in a subject in need thereof, said method comprising administering a therapeutically effective amount of a compound of Formulas I-17 or I-18 represented by:

$$(R^{6})_{m} = (R^{5})_{1} + (R^{2})_{1} + (R^{2})_{1} + (R^{2})_{1} + (R^{5})_{1} + (R^{6})_{1} +$$

or a pharmaceutically acceptable salt, solvate, or prodrug thereof

wherein j is 0, 1 or 2;

wherein m is 0, 1, 2, 3 or 4;

wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and hydrocarbyl;

wherein R^{3A}, R^{3B}, R^{5A}, and R^{5B} are independently selected from the group consisting of hydrogen, alkyl; cycloalkyl; alkenyl; alkynyl; heterocyclyl; quaternary heterocyclyl, oxo; aryl-R⁵; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; and -SO₃R⁹;

wherein R⁹ and R¹⁰ are independently selected from the group consisting of hydrogen; hydrocarbyl; amino; and hydrocarbylamino;

wherein R⁵ is selected from the group consisting of hydrogen; hydrocarbyl, heterocyclyl; quaternary heterocyclyl; -OR⁹; -SR⁹; -S(O)R⁹; -SO₂R⁹; and -SO₃R⁹;

wherein when R⁵ is said cycloalkyl, aryl or heterocyclyl, said cycloalkyl, aryl or heterocyclyl are optionally substituted with -NH-X-R or -O-X-R;

wherein X is selected from the group consisting of $-(C=O)_s$ -alkyl-; $-(C=O)_s$ -alkyl-NH-; $-(C=O)_s$ -alkyl-O-; $-(C=O)_s$ -alkyl-(C=O)_t; and a covalent bond, wherein s and t are independently 0 or 1;

wherein R is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides are optionally protected with one or more sugar protecting groups;

wherein R⁹ and R¹⁰ are as previously defined;

wherein, when $R^5 \neq H$, R^5 is optionally substituted with one or more radicals independently selected from the group consisting of halogen; -NO₂; -CN; oxo; hydrocarbyl; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴R¹⁵; -PR¹³R¹⁴; -P'R¹³R¹⁴R¹⁵A⁻; -P(O)R¹³OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻;

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen and hydrocarbyl;

wherein A is a pharmaceutically acceptable anion; wherein M is a pharmaceutically acceptable cation;

wherein one or more R^6 radicals are independently selected from the group consisting of hydrogen; halogen; -CN; -NO₂; hydrocarbyl; - R^5 ; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; - OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)OM; -S(O)NR¹³R¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein R¹³, R¹⁴, R¹⁵, A⁻, and M are as defined above; and

wherein, in each instance, said hydrocarbyl may be optionally substituted with one or more groups comprising one or more heteroatoms, and wherein, in each instance, said hydrocarbyl optionally may have one or more carbon atoms replaced by one or more heteroatoms independently selected from the group consisting of oxygen, nitrogen, sulfur, phosphorus and combinations thereof.

56. The method of claim 55 wherein said Formula I-17 comprises a member selected from the group consisting of I-21 and I-22 represented by:

57. The method of claim 56 wherein said Formulas I-21 and I-22 comprise Formulas I-9 and I-10, respectively, represented by:

$$(R^{6})_{m} = R^{2A} R^{2B}$$

$$(R^{6})_{m} = R^{3A} R^{3A}$$

$$(R^{6})_{m} = R^{3A} R^{3A}$$

$$(R^{6})_{m} = R^{3A} R^{3A}$$

$$(R^{6})_{m} = R^{3A} R^{3A}$$

$$(R^{6})_{m} = R^{3A}$$

58. The method of claim 57 wherein said Formula I-18 comprises a member selected from the group consisting of I-19 and I-20 represented by:

$$(R^{6})_{m} = R^{2A}$$

$$(R^{6})_{m} = R^{2B}$$

$$(R^{$$

59. The method of claim 58 wherein said Formulas I-19 and I-20 comprise Formulas I-11 and I-12, respectively, represented by:

$$(R^{6})_{m} = R^{3A}$$

$$(R^{6})_{m} = R^{3A}$$

$$(R^{6})_{m} = R^{3A}$$

$$(R^{6})_{m} = R^{3A}$$

$$(R^{5})_{m} = R^{5}$$

60. The method of claim 59 wherein said Formula I-11 comprises a member selected from the group consisting of Formulas I-13 and I-16 represented by:

61. The method of claim 59 wherein said Formula I-12 comprises a member selected from the group consisting of Formulas I-14 and I-15 represented by: 804

62. The method of claim 55 wherein said R^5 is a member selected from the group consisting of (1) - (69) and (70):

(1)
$$CI-N+$$
(2) CO_2H
(2) CO_2H
(3) CO_2H
(4) CO_2H
(5) CO_2H
(6) CO_2H
(7) CO_2H
(8) CO_2H
(8) CO_2H
(9) CO_2H
(1) CO_2H
(1) CO_2H
(1) CO_2H
(2) CO_2H
(3) CO_2H
(4) CO_2H
(5) CO_2H
(6) CO_2H
(7) CO_2H
(8) CO_2H
(8) CO_2H
(9) CO_2H
(1) CO_2H
(1) CO_2H
(2) CO_2H
(3) CO_2H
(4) CO_2H
(5) CO_2H
(6) CO_2H
(7) CO_2H
(8) CO_2H
(9) CO_2H
(1) CO_2H
(1) CO_2H
(2) CO_2H
(3) CO_2H
(4) CO_2H
(5) CO_2H
(6) CO_2H
(7) CO_2H
(8) CO_2H
(9) CO_2H
(9) CO_2H
(10) CO_2H
(11) CO_2H
(12) CO_2H
(13) CO_2H
(14) CO_2H
(15) CO_2H
(16) CO_2H
(17) CO_2H
(18) CO_2H
(19) $CO_$

$$(17) \qquad \qquad R = 1000 \text{ MW PEG}$$

$$\begin{array}{c|c}
O \\
\parallel \\
S \\
O \\
CO_2H
\end{array}$$
(19)

M = Co^{II, III}, Mn^{II, III}, Fe^{II, III}, Ni^{II, III}, Cr^{III}, Cu^{II}, Zn^{II}, Cd^{II}, Ga^{III}, In^{III}, V^{IV}, Ru^{II}, Pr^{IV}, Rh^{III} or Ir^{III}

(24)

(26)

(29)

(30)

(31)

(41)

(50)

(51)

(52)

(53)

$$N \longrightarrow N \longrightarrow R \longrightarrow N$$

(54)

(55)

(56)

(57)

(58)

(59)

(60)

(61)

(62)

(63)

(64)

(65)

(66)

(67)

(68)

(69) and

(70)

provided that when said R⁵ is (7), (17) or (24), then said R^{5A} is a left end of said R⁵ and said R^{5B} is a right end of said R⁵ or vice versa.

63. A method of forming a compound of the Formula I-1:

$$(R^{6})_{m}$$
 R^{5B}
 R^{5A}
 R^{2A}
 R^{2B}
 R^{3A}
 R^{3B}
 R^{3B}
 R^{5A}
 R^{5A}
 R^{5A}
 R^{5A}

or a pharmaceutically acceptable salt, solvate, or prodrug thereof

wherein j is 0, 1 or 2;

wherein m is 0, 1, 2, 3 or 4;

wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and hydrocarbyl;

wherein R^{3A}, R^{3B}, R^{5A}, and R^{5B} are independently selected from the group consisting of hydrogen, alkyl; cycloalkyl; alkenyl; alkynyl; heterocyclyl; quaternary heterocyclyl, oxo; aryl-R⁵; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; and -SO₃R⁹;

wherein R⁹ and R¹⁰ are independently selected from the group consisting of hydrogen; hydrocarbyl; amino; and hydrocarbylamino;

wherein R⁵ is selected from the group consisting of hydrogen; hydrocarbyl; heterocyclyl; quaternary heterocyclyl; -OR⁹; -SR⁹; -S(O)R⁹; -SO₂R⁹; and -SO₃R⁹;

wherein when R⁵ is said cycloalkyl, aryl or heterocyclyl, said cycloalkyl, aryl or heterocyclyl are optionally substituted with -NH-X-R or -O-X-R;

wherein X is selected from the group consisting of $-(C=O)_s$ -alkyl-; $-(C=O)_s$ -alkyl-NH-; $-(C=O)_s$ -alkyl-O-; $-(C=O)_s$ -alkyl-(C=O)_t; and a covalent bond, wherein s and t are independently 0 or 1;

wherein R is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides are optionally protected with one or more sugar protecting groups;

wherein R⁹ and R¹⁰ are as previously defined;

wherein, when $R^5 \neq H$, R^5 is optionally substituted with one or more radicals independently selected from the group consisting of halogen; -NO₂; -CN; oxo; hydrocarbyl; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴: -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻;

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen and hydrocarbyl;

wherein A is a pharmaceutically acceptable anion;

wherein M is a pharmaceutically acceptable cation;

wherein one or more R^6 radicals are independently selected from the group consisting of hydrogen; halogen; -CN; -NO₂; hydrocarbyl; - R^5 ; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)₂R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; - OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)OM; -

S(O)NR¹³R¹⁴; -N⁺R¹³R¹⁴R¹⁵A-; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A-; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein R¹³, R¹⁴, R¹⁵, A⁻, and M are as defined above; and wherein, in each instance, said hydrocarbyl may be optionally substituted with one or more groups comprising one or more heteroatoms, and wherein, in each instance, said hydrocarbyl optionally may have one or more carbon atoms replaced by one or more heteroatoms independently selected from the group consisting of oxygen, nitrogen, sulfur, phosphorus and combinations thereof, said method comprising the steps of:

(a) forming a compound of Formula S1-78c:

$$(R^{6})_{m}$$
 R^{5B}
 R^{5A}
 R^{2A}
 R^{2A}
 R^{2B}
 R^{3A}
 R^{3B}

S1-78c

wherein R^{2A}, R^{2B}, R^{3A}, R^{3B}, R^{5A}, R^{5B}, R⁶, m and j are as previously defined; and

- (b) treating said compound of Formula S1-78c with diethylaminosulfur trifluoride to form said compound of Formula I-1.
- 64. The method of claim 63 wherein said treating step (b) is carried out in an inert solvent.
- 65. The method of claim 64 wherein said treating step (b) is carried out in said inert solvent cooled to from about -50 °C to about -78 °C.
- 66. A method of forming a compound of Formula I-2:

$$(R^{6})_{m}$$
 R^{5B}
 R^{5A}
 R^{2A}
 R^{2B}
 R^{3A}
 R^{3B}
 R^{3B}
 R^{5A}
 R^{5A}
 R^{5A}

or a pharmaceutically acceptable salt, solvate, or prodrug thereof

wherein j is 0, 1 or 2;

wherein m is 0, 1, 2, 3 or 4;

wherein R^{2A} and R^{2B} are independently selected from the group consisting of hydrogen and hydrocarbyl;

wherein R^{3A} , R^{3B} , R^{5A} , and R^{5B} are independently selected from the group consisting of hydrogen, alkyl; cycloalkyl; alkenyl; alkynyl; heterocyclyl; quaternary heterocyclyl, oxo; aryl- R^5 ; -OR 9 ; -NR $^9R^{10}$; -SR 9 ; -S(O)R 9 ; -SO $_2R^9$; and -SO $_3R^9$;

wherein R⁹ and R¹⁰ are independently selected from the group consisting of hydrogen; hydrocarbyl; amino; and hydrocarbylamino;

wherein R⁵ is selected from the group consisting of hydrogen; hydrocarbyl; heterocyclyl; quaternary heterocyclyl; -OR⁹; -SR⁹; -S(O)R⁹; -SO₂R⁹; and -SO₃R⁹;

wherein when R⁵ is said cycloalkyl, aryl or heterocyclyl, said cycloalkyl, aryl or heterocyclyl are optionally substituted with -NH-X-R or -O-X-R;

wherein X is selected from the group consisting of $-(C=O)_s$ -alkyl-; $-(C=O)_s$ -alkyl-NH-; $-(C=O)_s$ -alkyl-O-; $-(C=O)_s$ -alkyl-(C=O)_t; and a covalent bond, wherein s and t are independently 0 or 1;

wherein R is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides are optionally protected with one or more sugar protecting groups;

wherein R⁹ and R¹⁰ are as previously defined;

wherein, when $R^5 \neq H$, R^5 is optionally substituted with one or more radicals independently selected from the group consisting of halogen; -NO₂; -CN; oxo; hydrocarbyl; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴R¹⁵A⁻; -P⁺R¹³R¹⁴R¹⁵A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻;

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen and hydrocarbyl;

wherein A is a pharmaceutically acceptable anion; wherein M is a pharmaceutically acceptable cation;

wherein one or more R^6 radicals are independently selected from the group consisting of hydrogen; halogen; -CN; -NO₂; hydrocarbyl; - R^5 ; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; - OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)OM; -S(O)NR¹³R¹⁴; -N⁺R¹³R¹⁴R¹⁵A-; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein R¹³, R¹⁴, R¹⁵, A⁻, and M are as defined above; and

wherein, in each instance, said hydrocarbyl may be optionally substituted with one or more groups comprising one or more heteroatoms, and wherein, in each instance, said hydrocarbyl optionally may have one or more carbon atoms replaced by one or more heteroatoms independently selected from the group consisting of oxygen, nitrogen, sulfur, phosphorus and combinations thereof,

said method comprising the steps of:

(a) forming a compound of Formula S1-78a:

wherein R^{2A} , R^{2B} , R^{3A} , R^{3B} , R^{5A} , R^{5B} , R^{6} , m and j are as previously defined; and

- (b) treating said compound of Formula S1-78a with diethylaminosulfur trifluoride to form said compound of Formula I-2.
- 67. The method of claim 66 wherein said treating step (b) is carried out in an inert solvent.
- 68. The method of claim 67 wherein said treating step (b) is carried out in said inert solvent cooled to from about -50 °C to about -78 °C.

69. The method of claim 63 wherein said compound of Formula I-1 comprises Formula I-17 represented by:

wherein R^{2A} , R^{2B} , R^{3A} , R^{3B} , R^{5A} , R^{5B} , R^{6} , m and j are as previously defined and R^{5} is selected from the group consisting of (1) - (69) and (70):

(1)
$$CI-N+$$
(2) CO_2H
(3) CO_2H
(4) CO_2H
(5) CO_2H
(6) CO_2H
(7) CO_2H
(8) CO_2H
(9) CO_2H
(1) CO_2H
(1) CO_2H
(1) CO_2H
(2) CO_2H
(3) CO_2H
(4) CO_2H
(5) CO_2H
(6) CO_2H
(7) CO_2H
(8) CO_2H
(9) CO_2H
(1) CO_2H
(1) CO_2H
(2) CO_2H
(3) CO_2H
(4) CO_2H
(5) CO_2H
(6) CO_2H
(7) CO_2H
(8) CO_2H
(9) CO_2H
(9) CO_2H
(10) CO_2H
(11) CO_2H
(12) CO_2H
(13) CO_2H
(14) CO_2H
(15) CO_2H
(16) CO_2H
(17) CO_2H
(18) CO_2H
(19) $CO_$

(14)

$$(17) \qquad \qquad R = 1000 \text{ MW PEG}$$

$$\begin{array}{c|c}
O \\
\parallel \\
S \\
O \\
CO_2H
\end{array}$$
(19)

$$(22) \qquad \qquad \begin{matrix} H \\ N \end{matrix} CO_2H$$

$$\begin{split} & \mathsf{M} = \mathsf{Co}^{II, \, III}, \, \mathsf{Mn}^{II, \, III}, \, \mathsf{Fe}^{II, \, III}, \, \mathsf{Ni}^{II, \, III}, \\ & \mathsf{Cr}^{III}, \, \mathsf{Cu}^{II}, \, \mathsf{Zn}^{II}, \, \mathsf{Cd}^{II}, \, \mathsf{Ga}^{III}, \, \mathsf{In}^{III}, \, \mathsf{V}^{IV}, \\ & \mathsf{Ru}^{II}, \, \mathsf{Pr}^{IV}, \, \mathsf{Rh}^{III} \, \text{ or } \mathsf{Ir}^{III} \end{split}$$

(29)

(41)

Cl

(63)

(64)

(65)

(66)

(67)

provided that when said R^5 is (7), (17) or (24), then said R^{5A} is a left end of said R^5 and said R^{5B} is a right end of said R^5 or vice versa.

70. The method of claim 69 wherein said Formula I-17 comprises Formulas I-21 or I-22 represented by:

$$(R^{6})_{m} = R^{2A} R^{2B}$$

$$(R^{6})_{m} = R^{5}$$

$$(R^{6})_{m} = R^{2A} R^{2B}$$

$$(R^{6})_{m} = R^{2A} R^{2B}$$

$$(R^{6})_{m} = R^{2A} R^{2B}$$

$$(R^{6})_{m} = R^{2A} R^{2B}$$

$$(R^{6})_{m} = R^{5}$$

71. The method of claim 70 wherein said Formulas I-21 and I-22 comprise. Formulas I-9 and I-10, respectively, represented by:

$$(R^6)_{m}$$
 R^{3A}
 R^{3A}
 R^{3B}
 R^{3B}

72. The method of claim 70 wherein said R⁵ group is attached at least either at a meta position or at a para position of said phenyl ring attached to said 5-carbon position of said benzothiepene of said Formulas I-21 or I-22.

73. The method of claim 66 wherein said compound of Formula I-2 is selected from the group consisting of Formulas I-3 and I-4 represented by:

$$(R^{6})_{m} = \begin{pmatrix} O \\ 1 \\ 2 \\ 1 \\ 2 \\ 1 \end{pmatrix} \begin{pmatrix} O \\ 1 \\ 2 \\ 1 \end{pmatrix} \begin{pmatrix} O \\ 2 \\ 1 \\ 2 \\ 1 \end{pmatrix} \begin{pmatrix} R^{2A} \\ R^{2B} \\ R^{3A} \\ R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O \\ 1 \\ 2 \\ 1 \end{pmatrix} \begin{pmatrix} O \\ 1 \\ 2 \\ 1 \end{pmatrix} \begin{pmatrix} O \\ 1 \\ 2 \\ 1 \end{pmatrix} \begin{pmatrix} O \\ 1 \\ 2 \\ 3 \end{pmatrix} \begin{pmatrix} O \\ 1 \\ 2 \\ 3 \end{pmatrix} \begin{pmatrix} O \\ 1 \\ 2 \\ 3 \end{pmatrix} \begin{pmatrix} O \\ 1 \\ 2 \\ 3 \end{pmatrix} \begin{pmatrix} O \\ 1 \\ 2 \\ 3 \end{pmatrix} \begin{pmatrix} O \\ 1 \\ 2 \\ 3 \end{pmatrix} \begin{pmatrix} O \\ 1 \\ 2 \\ 3 \end{pmatrix} \begin{pmatrix} O \\ 1 \\ 2 \\ 3 \end{pmatrix} \begin{pmatrix} O \\ 1 \\ 3 \end{pmatrix} \begin{pmatrix} O$$

wherein R^{2A} , R^{2B} , R^{3A} , R^{3B} , R^{5A} , R^{5B} , R^6 , m and j are as previously defined and said R^5 is selected from the group consisting of (1) - (69) and (70):

(1)
$$CI-N+$$
(2) CO_2H
(3) CO_2H
(4) CO_2H
(5) CO_2H
(1) CO_2H
(2) CO_2H
(3) CO_2H
(4) CO_2H
(5) CO_2H
(6) CO_2H
(7) CO_2H
(8) CO_2H
(9) CO_2H
(10) CO_2H
(11) CO_2H
(12) CO_2H
(13) CO_2H
(14) CO_2H
(15) CO_2H
(16) CO_2H
(17) CO_2H
(18) CO_2H
(19) CO_2H
(19)

(7)

(13)

(15a)

$$\begin{array}{c|c}
O \\
\parallel \\
S \\
O \\
CO_2H
\end{array}$$
(19)

(21)

$$CO_2H$$

(22)

 CO_2H
 CO_2H

$$\begin{split} & M = Co^{II, \ III}, \ Mn^{II, \ III}, \ Fe^{II, \ III}, \ Ni^{II, \ III}, \\ & Cr^{III}, \ Cu^{II}, \ Zn^{II}, \ Cd^{II}, \ Ga^{III}, \ In^{III}, \ V^{IV}, \\ & Ru^{II}, \ Pr^{IV}, \ Rh^{III} \ or \ Ir^{III} \end{split}$$
(24)

(23)

(26)

(27)

(29)

(30)

(51)

(52)

(53)

$$N$$
 N R O

(54)

(55)

(56)

(57)

(58)

(59)

(60)

(61)

(62)

(63)

(64)

848

provided that when said R^5 is (7), (17) or (24), then said R^{5A} is a left end of said R^5 and said R^{5B} is a right end of said R^5 or vice versa.

74. The method of claim 73 wherein said Formula I-3 comprises a member selected from the group consisting of Formulas I-5 and I-6 represented by:

$$(R^{6})_{m} = \begin{pmatrix} O)j & R^{2A} & \\ S & 1 & 2 & \\ R^{5B} & 1 & 2 & \\ R^{5A} & R^{3B} & \\ R^{5A} & R^{5B} & \\ R^{5A} & R^{5B} & \\ R^{5A} & R^{5B} & \\ R^{5B} & R^{5B} &$$

75. The method of claim 73 wherein said Formula I-4 comprises a member selected from the group consisting of Formulas I-7 and I-8 represented by:

$$(R^{6})_{m} = R^{5A}$$

76. The method of claim 74 wherein said compounds of Formulas I-6 and I-5 comprise Formulas I-13 and I-14, respectively, represented by:

$$(R^6)_{\rm m}$$
 R^{3A} R^{3A} R^{3B} R^{3B

77. The method of claim 75 wherein said Formulas I-7 and I-8 comprise Formulas I-15 and I-16, respectively, represented by:

78. The method of claim 66 wherein said compound of Formula I-2 comprises a compound of Formula I-18 represented by:

79. The method of claim 78 wherein said compound of Formula I-18 comprises a member selected from the group consisting of Formulas I-23 and I-24 represented by:

80. The method of claim 79 wherein said compounds of Formulas I-23 and I-24 comprises Formulas I-19 and I-20, respectively, represented by:

$$(R^{6})_{m} = \begin{pmatrix} R^{2A} & R^{2B} & R^{$$

81. The method of claim 66 wherein said compound of Formula I-2 is selected from the group consisting of Formulas I-11 and I-12, respectively, represented by:

$$(R^{6})_{m} = R^{3A}$$

$$R^{3A}$$

$$R^{3B}$$

$$R^{3B$$

82. The compound of claim 1 wherein said compound of Formula I-1 comprises Formula I-17 represented by:

wherein R^{2A} , R^{2B} , R^{3A} , R^{3B} , R^{5A} , R^{5B} , R^{6} , m and j are as previously defined and said R^{5} is selected from the group consisting of (1) - (69) and (70):

(1)
$$CI_{N+}$$
 CO_2H CO_2H

(13)

$$(17) \qquad \qquad R = 1000 \text{ MW PEG}$$

$$\begin{array}{c|c}
O \\
\parallel \\
S \\
O \\
CO_2H
\end{array}$$
(19)

(20)

(21)
$$CO_2H$$

$$CO_2H$$

$$CO_2H$$

$$CO_2H$$

$$CO_2H$$

$$CO_2H$$

$$\begin{aligned} M &= Co^{II, \, III}, \, Mn^{II, \, III}, \, Fe^{II, \, III}, \, Ni^{II, \, III}, \\ Cr^{III}, \, Cu^{II}, \, Zn^{II}, \, Cd^{II}, \, Ga^{III}, \, In^{III}, \, V^{IV}, \end{aligned}$$

(29)

(30)

(41)

$$\begin{array}{c}
0 \\
N
\end{array}$$

$$\begin{array}{c}
0 \\
F
\end{array}$$

$$\begin{array}{c}
F\\
F
\end{array}$$

$$\begin{array}{c}
F\\
F
\end{array}$$

$$(50)$$

$$0$$

$$F$$

$$F$$

$$(51)$$

(52)

(53)

$$\nearrow$$
 $\stackrel{N}{\longrightarrow}$ $\stackrel{N}{\longrightarrow}$ $\stackrel{R}{\longrightarrow}$ $\stackrel{O}{\longrightarrow}$

(54)

(55)

(56)

(57)

(58)

(59)

(60)

(61)

(62)

(63)

(64)

(65)

(66)

(67)

provided that when said R^5 is (7), (17) or (24), then said R^{5A} is a left end of said R^5 and said R^{5B} is a right end of said R^5 or vice versa.

83. The compound of claim 82 wherein said compound of Formula 17 comprises a member selected from the group consisting of Formulas I-21 and I-22 represented by:

$$(R^{6})_{m} = \begin{pmatrix} O)j & R^{2A} \\ 1 & 2 & R^{3A} \\ 1 & 2 & R^{3A} \\ R^{3B} & R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)j & R^{2A} \\ 1 & 2 & R^{3A} \\ 1 & 2 & R^{3A} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)j & R^{2A} \\ 1 & 2 & R^{3A} \\ 1 & 2 & R^{3A} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O& 1 & R^{2A} \\ 1 & 2 & R^{3A} \\ 1 & 2 & R^{3B} \\ 1 & 2 & R^{3B}$$

84. The method of claim 83 wherein said compounds of Formulas I-21 and I-22 comprise Formulas I-9 and I-10, respectively, represented by:

$$(R^6)_m$$
 R^{3A}
 R^{3A}
 R^{3B}
 R^{3B}

85. The compound of claim 1 wherein said compound of Formula I-2 is selected from the group consisting of Formulas I-3 and I-4 represented by:

$$(R^{6})_{m} \qquad R^{5A} \qquad I-3 \qquad (R^{6})_{m} \qquad R^{5B} \qquad R^{5A} \qquad I-4$$

wherein R^{2A} , R^{2B} , R^{3A} , R^{3B} , R^{5A} , R^{5B} , R^{6} , m and j are as previously defined and said R^{5} is selected from the group consisting of (1) – (69) and (70):

. (7)

$$(17) \qquad \qquad R = 1000 \text{ MW PEG}$$

$$\begin{array}{c|c}
O \\
\parallel \\
S \\
O \\
CO_2H
\end{array}$$
(19)

(20)

(21)

$$(22) \qquad \qquad \begin{matrix} H \\ N \end{matrix} \qquad CO_2H$$

(23)

M = Co^{II, III}, Mn^{II, III}, Fe^{II, III}, Ni^{II, III}, Cr^{III}, Cu^{II}, Zn^{II}, Cd^{II}, Ga^{III}, In^{III}, V^{IV}, Ru^{II}, Pr^{IV}, Rh^{III} or Ir^{III}

(29)

(50)

(58)

(59)

(60)

(61)

(62)

(63)

(64)

(65)

(66)

(67)

(69) and

provided that when said R^5 is (7), (17) or (24), then said R^{5A} is a left end of said R^5 and said R^{5B} is a right end of said R^5 or vice versa.

86. The compound of claim 85 wherein said Formula I-3 comprises a member selected from the group consisting of Formulas I-5 and I-6 represented by:

$$(R^{6})_{m} \xrightarrow{R^{5B}} R^{5A}$$

$$R^{5A}$$

$$R^{5A}$$

$$R^{5A}$$

$$R^{5A}$$

$$R^{5A}$$

$$R^{5B}$$

$$R^{5A}$$

$$R^{5A}$$

$$R^{5B}$$

$$R^{5A}$$

$$R^{5A}$$

$$R^{5B}$$

$$R^{5A}$$

$$R^{5B}$$

$$R^{5A}$$

$$R^{5B}$$

$$R^{5A}$$

$$R^{5B}$$

$$R^{5A}$$

$$R^{5B}$$

$$R^{5A}$$

$$R^{5B}$$

$$R^{5B}$$

$$R^{5A}$$

$$R^{5B}$$

87. The compound of claim 85 wherein said Formula I-4 comprises a member selected from the group consisting of Formulas I-7 and I-8 represented by:

$$(R^{6})_{m} = R^{5B} = R^{5A} = R^{2B} = R^{2B$$

88. The compound of claim 86 wherein said compounds of Formulas I-6 and I-5 comprise Formulas I-13 and I-14, respectively, represented by:

$$(R^6)_m$$
 R^{3A}
 R^{3B}
 R^{3B}
 R^{3B}
 R^{3B}
 R^{5}
 R^{5}

89. The compound of claim 87 wherein said compounds of Formulas I-7 and I-8 comprise Formulas I-15 and I-16, respectively, represented by:

90. The compound of claim 1 wherein said compound of Formula I-2 comprises a compound of Formula I-18 represented by:

wherein R^{2A} , R^{2B} , R^{3A} , R^{3B} , R^{5A} , R^{5B} , R^{6} , m and j are as previously defined and said R^{5} is selected from the group consisting of (1) - (69) and (70):

(1)
$$CI-N+$$
(2) CO_2H
(2) CO_2H
(3) CO_2H
(4) CO_2H
(5) CO_2H
(1) CO_2H
(1) CO_2H
(2) CO_2H
(3) CO_2H
(4) CO_2H
(5) CO_2H
(6) CO_2H
(7) CO_2H
(8) CO_2H
(9) CO_2H
(9) CO_2H
(10) CO_2H
(11) CO_2H
(12) CO_2H
(13) CO_2H
(14) CO_2H
(15) CO_2H
(15) CO_2H
(16) CO_2H
(17) CO_2H
(18) CO_2H
(19) CO

$$(17) \qquad \qquad R = 1000 \text{ MW PEG}$$

$$\begin{array}{c|c}
O \\
\parallel \\
S \\
O \\
CO_2H
\end{array}$$
(19)

(21)
$$CO_2H$$

$$CO_2H$$

$$CO_2H$$

$$CO_2H$$

$$CO_2H$$

$$CO_2H$$

$$\begin{aligned} M &= Co^{II, \, III}, \, Mn^{II, \, III}, \, Fe^{II, \, III}, \, Ni^{II, \, III}, \\ Cr^{III}, \, Cu^{II}, \, Zn^{II}, \, Cd^{II}, \, Ga^{III}, \, in^{III}, \, V^{IV}, \end{aligned}$$

(30)

(41)

(49)

(50)

(51)

(52)

(53)

$$N$$
 N R O

(54)

(55)

(56)

· **(57)**

(58)

(59)

(60)

(61)

(62)

(63)

(64)

(65)

(66)

(67)

(68)

(69) and

(70)

provided that when said R⁵ is (7), (17) or (24), then said R^{5A} is a left end of said R⁵ and said R^{5B} is a right end of said R⁵ or vice versa.

91. The compound of claim 90 wherein said compound of Formula I-18 comprises a member selected from the group consisting of I-23 and I-24 represented by:

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{2B} & R^{2B} \\ R^{3A} & R^{3A} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{2B} & R^{2B} \\ R^{3A} & R^{3A} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{3A} & R^{3A} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{3A} & R^{3A} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{3B} & R^{3A} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{3B} & R^{3B} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{3B} & R^{3B} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2B} \\ R^{3B} & R^{3B} \\ R^{3B} & R^{3B} \end{pmatrix}$$

92. The compound of claim 91 wherein said compounds of Formulas I-23 and I-24 comprise compounds of Formulas I-19 and I-20, respectively, represented by:

$$(R^{6})_{m} = R^{2A} + R^{2B} + R^{2B} + R^{3A} + R^{3A} + R^{3B} + R^{3B} + R^{5} = R^{5}$$

$$(R^{6})_{m} = R^{2A} + R^{2B} + R^$$

93. The compound of claim 1 wherein said compound of Formula I-2 is selected from the group consisting of Formulas I-11 and I-12 represented by:

$$(R^6)_m$$
 R^{3A}
 R^{3B}
 R^{3B}

- 94. The method of claim 39 wherein said hyperlipidemic condition is hypercholesterolemia.
- 95. The method of claim 94 wherein said therapeutically effective amount is a daily dose from about 0.001 mg to about 10,000 mg.
- 96. The method of claim 95 wherein said daily dose is from about 0.005 mg to about 1,000 mg.
- 97. The method of claim 96 wherein said daily dose is from about 0.008 to about 100 mg.
- 98. The method of claim 97 wherein said daily dose is from about 0.05 mg to about 50 mg.

-38
99. The method of claim 95 wherein said daily dose is administered as a single
dose or in multiple divided doses.
100. The method of claim 40 wherein said therapeutically effective amount is a
daily dose from about 0.001 mg to about 10,000 mg.
101. The method of claim 100 wherein said daily dose is from about 0.005 mg to
about 1,000 mg.
102. The method of claim 101 wherein said daily dose is from about 0.008 to about
100 mg.
102
103. The method of claim 102 wherein said daily dose is from about 0.05 mg to

The method of claim 100 wherein said daily dose is administered as a single

The method of claim 95 wherein said daily dose is administered orally.

The method of claim 95 wherein said daily dose is administered parenterally.

894

about 50 mg.

dose or in multiple divided doses.

104.

105.

106.

- 107. The method of claim 95 wherein said daily dose is administered rectally.
 - 108. The method of claim 107 wherein said daily dose is administered as a rectal dosage form comprising a suppository.
 - 109. The method of claim 94 wherein said therapeutically effective amount is administered as a slow release dosage form.
 - 110. The method of claim 109 wherein said slow release dosage form comprises an implant.
 - 111. The method of claim 105 wherein said daily dose is administered in the form of an oral dosage form selected from the group consisting of a tablet, a capsule, a powder, a solution, a suspension, an emulsion, and a syrup.

- 112. The method of claim 111 wherein said solution comprises a syrup.
- 113. The method of claim 111 wherein said oral dosage form comprises a sublingual tablet, an effervescent tablet, or a slow release tablet.
- 114. The method of claim 106 wherein said parenteral dosage form is selected from the group consisting of an intramuscular injection, an intravenous injection, and a subcutaneous injection.

115. T	he method of claim 95 wherein said daily dose is administered topically.
116. T	he method of claim 100 wherein said daily dose is administered parenterally.
117. Ti	he method of claim 100 wherein said daily dose is administered rectally or
	he method of claim 117 wherein said daily dose is administered as a rectal orm or a vaginal dosage form comprising a suppository.
	he method of claim 100 wherein said daily dose is administered as a slow osage form.
120. Thimplant.	ne method of claim 119 wherein said slow release dosage form comprises an
of an ora	ne method of claim 100 wherein said daily dose is administered in the form I dosage form selected from the group consisting of a tablet, a capsule, a solution, a suspension, and an emulsion.
122. Th	ne method of claim 121 wherein said solution comprises a syrup.
	ne method of claim 121 wherein said tablet comprises a sublingual tablet, an ent tablet, or a slow release tablet.

- 124. The method of claim 116 wherein said parenteral dosage form is selected from the group consisting of an intramuscular injection, an intravenous injection, and a subcutaneous injection.
- 125. The method of claim 100 wherein said daily dose is administered topically.
- 126. The method of claim 125 wherein said daily dose is administered in the form of a topical dosage form selected from the group consisting of a lotion, a cream, a suspension, an emulsion, a paste, and a solution.
- 127. The method of claim 115 wherein said daily dose is administered in the form of a topical dosage form selected from the group consisting of a lotion, a cream, a suspension, an emulsion, a paste, and a solution.
- 128. A pharmaceutical composition comprising a compound of Formula I-1 or I-2 of claim 1 and a pharmaceutically acceptable carrier.
- 129. The pharmaceutical composition of claim 128 wherein said compound of Formula I-1 comprises Formula I-17 represented by:

wherein R^{2A} , R^{2B} , R^{3A} , R^{3B} , R^{5A} , R^{5B} , R^{6} , m and j are as previously defined and said R^{5} is selected from the group consisting of (1) - (69) and (70):

(1)
$$CI-N+$$
(2) CO_2H
(2) CO_2H
(3) CO_2H
(4) CO_2H
(5) CO_2H
(6) CO_2H
(7) CO_2H
(8) CO_2H
(9) CO_2H
(10) CO_2H
(11) CO_2H
(12) CO_2H
(13) CO_2H
(14) CO_2H
(15) CO_2H
(17) CO_2H
(18) CO_2H
(19) CO_2H
(

(8)

(9)
$$(10)$$

$$(10)$$

$$(11)$$

$$(12)$$

$$(13)$$

$$(14)$$

$$(14)$$

$$(15)$$

$$(15)$$

$$(16)$$

$$(17)$$

$$(17)$$

$$(18)$$

$$(18)$$

$$(19)$$

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(15)

$$(17) \qquad \qquad R = 1000 \text{ MW PEG}$$

$$\begin{array}{c|c}
O \\
\parallel \\
S \\
O \\
CO_2H
\end{array}$$
(19)

(22)
$$CO_2H$$

$$HN$$

$$HN$$

$$HN$$

$$HN$$

$$\begin{split} & M = Co^{II, \, III}, \, Mn^{II, \, III}, \, Fe^{II, \, III}, \, Ni^{II, \, III}, \\ & Cr^{III}, \, Cu^{II}, \, Zn^{II}, \, Cd^{II}, \, Ga^{III}, \, in^{III}, \, V^{IV}, \\ & Ru^{II}, \, Pr^{IV}, \, Rh^{III} \, or \, Ir^{III} \end{split}$$

(24)

(30)

(32)

$$(49) \qquad O \qquad F \qquad F$$

. (50)

(51)

(52)

$$N$$
 N R O

(53)

(54)

(55)

(56)

(57)

(58)

•

(59)

(60)

(62)

(63)

(65)

(66)

(67)

(68)

(69) and

(70)

provided that when said R^5 is (7), (17) or (24), then said R^{5A} is a left end of said R^5 and R^{5B} is a right end of said R^5 or vice versa.

130. The pharmaceutical composition of claim 129 wherein said compound of Formula I-17 comprises a member selected from the group consisting of Formulas I-21 and I-22 represented by:

$$(R^{6})_{m} = R^{2A} R^{2B}$$

$$(R^{6})_{m} = R^{3A} R^{3A}$$

$$(R^{6})_{m} = R^{3A} R^{3A}$$

$$(R^{6})_{m} = R^{3A} R^{3A}$$

$$(R^{6})_{m} = R^{3A} R^{3A}$$

$$(R^{6})_{m} = R^{3A}$$

$$(R^{6})_$$

131. The pharmaceutical composition of claim 130 wherein said compounds of Formulas I-21 and I-22 comprise Formulas I-9 and I-10, respectively, represented by:

$$(R^6)_m$$
 R^{5}
 R^{3A}
 R^{3A}
 R^{3B}
 R^{5}
 R^{5}

132. The pharmaceutical composition of claim 128 wherein said compound of Formula I-2 is selected from the group consisting of Formulas I-3 and I-4 represented by:

$$(R^{6})_{m} \qquad R^{5B} \qquad R^{5A} \qquad R^{2B} \qquad (Q)_{j} \qquad R^{2A} \qquad (Q)_{j} \qquad R^{2B} \qquad (Q)_{j} \qquad (Q)_{$$

wherein R^{2A} , R^{2B} , R^{3A} , R^{3B} , R^{5A} , R^{5B} , R^{6} , m and j are as previously defined and said R^{5} is selected from the group consisting of (1) – (69) and (70):

(13)

(15)

(15a)

(17) O R O

R = 1000 MW PEG

$$\begin{array}{c|c}
O \\
\parallel \\
S \\
O \\
CO_2H
\end{array}$$
(19)

(20)

$$\begin{split} \text{M} &= \text{Co}^{\text{II}, \text{ III}}, \text{ Mn}^{\text{II}, \text{ III}}, \text{ Fe}^{\text{II}, \text{ III}}, \text{ Ni}^{\text{II}, \text{ III}}, \\ \text{Cr}^{\text{II}}, \text{ Cu}^{\text{II}}, \text{ Zn}^{\text{II}}, \text{ Cd}^{\text{II}}, \text{ Ga}^{\text{III}}, \text{ In}^{\text{III}}, \text{ V}^{\text{IV}}, \\ \text{(24)} \quad & \text{Ru}^{\text{II}}, \text{ Pr}^{\text{IV}}, \text{ Rh}^{\text{III}} \text{ or Ir}^{\text{III}} \end{split}$$

(26)

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(32)

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(59)

(60)

(61)

(62)

(63)

(64)

$$(65) \qquad OH \qquad OF \qquad F$$

(66)

(67)

(69) and

(70)

provided that when said R^5 is (7), (17) or (24), then said R^{5A} is a left end of said R^5 and said R^{5B} is a right end of said R^5 or vice versa.

133. The pharmaceutical composition of claim 132 wherein said Formula I-3 comprises a member selected from the group consisting of Formulas I-5 and I-6 represented by:

$$(R^{6})_{m} = R^{2B}$$

$$R^{5A}$$

$$R^{5A}$$

$$R^{5A}$$

$$R^{5A}$$

$$R^{5A}$$

$$R^{5B}$$

$$R^{5A}$$

$$R^{5B}$$

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$$R^{5A}$$

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$$R^{5B}$$

$$R^{5A}$$

$$R^{5B}$$

134. The pharmaceutical composition of claim 132 wherein said Formula I-4 comprises a member selected from the group consisting of Formulas I-7 and I-8 represented by:

135. The pharmaceutical composition of claim 133 wherein said compounds of Formulas I-6 and I-5 comprise Formulas I-13 and I-14, respectively, represented by:

$$(R^{6})_{m} = R^{3A}$$

136. The pharmaceutical composition of claim 134 wherein said compounds of Formulas I-7 and I-8 comprise Formulas I-15 and I-16, respectively, represented by:

137. The pharmaceutical composition of claim 128 wherein said compound of Formula I-2 comprises a compound of Formula I-18 represented by:

138. The pharmaceutical composition of claim 137 wherein said compound of Formula I-18 comprises a member selected from the group consisting of I-23 and I-24 represented by:

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{3A} & R^{3A} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{3B} & R^{3A} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{3B} & R^{3B} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{3B} & R^{3B} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{3B} & R^{3B} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{3B} & R^{3B} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{3B} & R^{3B} \\ R^{3B} & R^{3B} \end{pmatrix}$$

$$(R^{6})_{m} = \begin{pmatrix} O)_{j} & R^{2A} \\ R^{3B} & R^{3B} \\ R^{3B} & R^{3B} \\ R^{3B} & R^{3B} \end{pmatrix}$$

139. The pharmaceutical composition of claim 138 wherein said compounds of Formulas I-23 and I-24 comprise compounds of Formulas I-19 and I-20, respectively, represented by:

$$(R^{6})_{m} = R^{2A}$$

$$R^{3A}$$

$$R^{3A}$$

$$R^{3B}$$

$$R^{5}$$

$$R^{5}$$

$$R^{5}$$

$$R^{5}$$

$$R^{2B}$$

$$R^{2B}$$

$$R^{2B}$$

$$R^{2B}$$

$$R^{3A}$$

$$R^{3B}$$

$$R^{3B}$$

$$R^{5}$$

$$R^{5}$$

$$R^{5}$$

140. The pharmaceutical composition of claim 128 wherein said compound of Formula I-2 is selected from the group consisting of Formulas I-11 and I-12 represented by:

- 141. The pharmaceutical composition of claim 128 provided in a coated dosage form, said coated dosage form having a coating of cellulose acetate phthalate, polyvinylacetate pththalate, hydroxypropylmethyl cellulose phthalate, or an anionic polymer of methacrylic acid and methacrylic acid methyl ester.
- 142. The compound of claim 1 provided in a coated dosage form, said coated dosage form having a coating of cellulose acetate phthalate, polyvinylacetate

pththalate, hydroxypropylmethyl cellulose phthalate, or an anionic polymer of methacrylic acid and methacrylic acid methyl ester.

- 143. The pharmaceutical composition of claim 128 provided in a dosage form selected from the group consisting of a tablet, a capsule, a suspension, an emulsion, a solution, a cream, a paste, a lotion, a suppository, or a powder.
- 144. The pharmaceutical composition of claim 128 in a dosage form selected from the group consisting of a sublingual tablet, an effervescent tablet, and a coated tablet.
- 145. The pharmaceutical composition of claim 128 provided in a dosage form comprising a slow release dosage form.
- 146. The pharmaceutical composition of claim 145 wherein said slow release dosage form is selected from the group consisting of an implant or a coated tablet.
- 147. The pharmaceutical composition of claim 146 wherein said solution, said suspension or said emulsion are suitable for parenteral administration to said subject.
- 148. The pharmaceutical composition of claim 143 wherein said solution comprises a syrup.
- 149. The pharmaceutical composition of claim 128 provided in a dosage form comprising a dispersion.
- 150. The compound of claim 1 provided in a dosage form selected from the group consisting of a tablet, a capsule, a suspension, an emulsion, a solution, a cream, a paste, a lotion, a suppository, and a powder.